

WHAT IS CLAIMED IS:

1. A mono-PEG-IL-10.

5 2. The mono-PEG-IL-10 of claim 1, comprising one or two PEG molecules covalently attached via a linker to one amino acid residue on IL-10, wherein the attachment is at an N-terminal amino acid residue or on a lysine residue.

3. The mono-PEG-IL-10 of claim 2:

10 (a) which comprises a methoxy PEG;

(b) wherein the IL-10 is human IL-10;

(c) wherein the total molecular mass of all PEG covalently attached to the linker is from 3,000 daltons to 60,000 daltons; or

(d) wherein the linker is a linear or branched C₁₋₁₁ alkyl.

15 4. The mono-PEG-IL-10 of claim 2, wherein the total molecular mass of all PEG covalently attached to the linker is from 10,000 daltons to 36,000 daltons.

5. The mono-PEG-IL-10 of claim 2, wherein the linker is a linear C₃ alkyl.

20 6. The mono-PEG-IL-10 of claim 1, wherein a PEG molecule is covalently attached to the alpha amino group of one N-terminus of IL-10 via a linear C₃ alkyl linker.

7. A PEG-IL-10 comprising the formula:

25 [X-O(CH₂CH₂O)_n]_b-L-NH-IL-10,

where X is H or C₁₋₄ alkyl, n is 20 to 2300, b is 1 to 9 and L is a C₁₋₁₁ alkyl linker moiety which is covalently attached to nitrogen (N) of the alpha amino group at the amino terminus of one IL-10 subunit, provided that when b is greater than 1 the total of n does not exceed 2300.

30 8. A PEG-IL-10 of claim 7, wherein L is -CH₂CH₂CH₂-.

9. A pharmaceutical composition, comprising a mono-PEG-IL-10 of claim 1 in combination with a pharmaceutically acceptable carrier.

10. A method of treating inflammation in an individual in need of such treatment, comprising administering to the individual a therapeutically effective amount of a pharmaceutical composition of claim 9.

11. A process for preparing a mono-PEG-IL-10, comprising the step of:
reacting IL-10 with an activated PEG-aldehyde linker in the presence of a reducing agent to form the mono-PEG-IL-10,
wherein the linker is covalently attached to one amino acid residue of the IL-10.

12. The process of claim 11 wherein:

- (a) the reducing agent is sodium cyanoborohydride;
- (b) the activated PEG-aldehyde linker is PEG-propionaldehyde;
- (c) the PEG is a methoxy-PEG;
- (d) the linker is multi-armed;
- (e) the ratio of IL-10 to the sodium cyanoborohydride is from about 1:0.5 to 1:50;
- (f) the total molecular mass of all PEG comprising the PEG-aldehyde linker is from 3,000 daltons to 60,000 daltons; or
- (g) the reacting step is performed at a pH of 5.5 to 7.8.

13. The process of claim 11, wherein the ratio of IL-10 to the sodium cyanoborohydride is 1:5 to 1:15.

14. The process of claim 11, wherein the total molecular mass of all PEG comprising the PEG-aldehyde linker is from 10,000 daltons to 36,000 daltons.

15. The process of claim 11, wherein the reacting step is performed at a pH of 6.3 to 7.5.

16. The process of claim 11, further comprising a step selected from:
incubating the mono-PEG-IL-10 product in a buffer at pH 5.0 to 9.0; and

treating the mono-PEG-IL-10 product with 0.05 to 0.4 M hydroxylamine HCl salt.

17. A process for preparing a mono-PEG-IL-10, comprising the step of:

5 reacting IL-10 with an activated PEG-propionaldehyde linker in the presence of sodium cyanoborohydride, wherein the molar ratio of IL-10 to sodium cyanoborohydride is from about 1:5 to about 1:15, at a pH of about 6.3 to about 7.5 and a temperature of from 18° C to 25° C to form the mono-PEG-IL-10,

wherein the linker is covalently attached to one amino acid residue of the IL-10.

10 18. The process of claim 17, wherein the total molecular mass of all PEG comprising the PEG-aldehyde linker is from 10,000 daltons to 36,000 daltons.

19. The process of claim 17, further comprising a step selected from:

incubating the mono-PEG-IL-10 product in a TRIS buffer at pH 7.0 to 8.0; and

15 treating the mono-PEG-IL-10 product with 0.05 to 0.4 M hydroxylamine HCl salt.

20. A PEG-IL-10 prepared according to a process of claim 11.